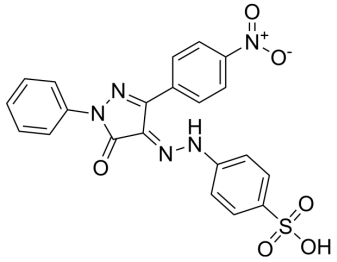
PHPS1

Cat. No.:	HY-112368
CAS No.:	314291-83-3
Molecular Formula:	$C_{21}H_{15}N_5O_6S$
Molecular Weight:	465.44
Target:	Phosphatase; SHP2
Pathway:	Metabolic Enzyme/Protease; Protein Tyrosine Kinase/RTK
Storage:	-20°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (53.71 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1485 mL	10.7425 mL	21.4850 mL
	5 mM	0.4297 mL	2.1485 mL	4.2970 mL
	10 mM	0.2149 mL	1.0743 mL	2.1485 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

PHPS1 is a potent and selective Shp2 inhibitor with K_is of 0.73, 5.8, 10.7, 5.8, and 0.47 μM for Shp2, Shp2-R362K, Shp1, PTP1B, and PTP1B-Q, respectively[1].

IC_{50} & Target

Ki: 0.73 μM (Shp2), 5.8 μM (Shp2-R362K), 10.7 μM (Shp1), 5.8 μM (PTP1B), 0.47 μM (PTP1B-Q)[1]

In Vitro

PHPS1 (30 μM; 6 days) inhibits proliferation of human tumor cells[1].

PHPS1 (5-20 μM; 5-360 minutes) inhibits Erk1/2 but not Akt and Stat3 phosphorylation in a dose-dependent manner[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	Human cancer cell lines MDA-MB-435, HCT-116 (colon carcinoma), HCT-15 (colon carcinoma), PC-3 (prostate carcinoma) HT-29 (colon carcinoma), NCI-H661 (lung carcinoma), and Caki-1 (kidney carcinoma)
Concentration:	30 μM
Incubation Time:	6 days

Result:	Resulted in a reduction in cell number of between 0% (Caki-1) to 74% (HT-29).

Western Blot Analysis[1]

Cell Line:	Madin-Darby canine kidney (MDCK) cells
Concentration:	5, 10, 20 μM
Incubation Time:	5, 15, 60, 120, 360 minutes
Result:	Inhibited HGF/SF (1 unit/mL)-induced phosphorylation and thus activation of Erk1/2 over a time period of 15 min to 6 h. In contrast, transient phosphorylation of Erk1/2 after 5 min was not affected. Exhibited no effect on HGF/SF-induced activation of PI3K/Akt or Stat3.

In Vivo

PHPS1 (3 mg/kg; i.p. injection; every day during the last week on the high-fat diet) renders $Ldlr^{-/-}$ mice less susceptible to atherosclerosis development[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	$Ldlr^{-/-}$ (005061) mice[2]
Dosage:	3 mg/kg
Administration:	Intraperitoneal (i.p.) injection; every day during the last week on the high-fat diet.
Result:	Revealed a significant decrease in atherosclerotic plaque size in the aorta compared with the other two groups.

REFERENCES

[1]. Klaus Hellmuth, et al. Specific Inhibitors of the Protein Tyrosine Phosphatase Shp2 Identified by High-Throughput Docking. Proc Natl Acad Sci U S A. 2008 May 20;105(20):7275-80.

[2]. Jia Chen, et al. SHP2 Inhibitor PHPS1 Protects Against Atherosclerosis by Inhibiting Smooth Muscle Cell Proliferation. BMC Cardiovasc Disord. 2018 Apr 27;18(1):72.

Caution: Product has not been fully validated for medical applications. For research use only.

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